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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 09	CA/CAPLUS records now contain indexing from 1907 to the present
NEWS	4	Jul 15	Data from 1960-1976 added to RDISCLOSURE
NEWS	5	Jul 21	Identification of STN records implemented
NEWS	6	Jul 21	Polymer class term count added to REGISTRY
NEWS	7	Jul 22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available
NEWS	8	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS	9	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS	10	AUG 15	PATDPAFULL: one FREE connect hour, per account, in September 2003
NEWS	11	AUG 15	PCTGEN: one FREE connect hour, per account, in September 2003
NEWS	12	AUG 15	RDISCLOSURE: one FREE connect hour, per account, in September 2003
NEWS	13	AUG 15	TEMA: one FREE connect hour, per account, in September 2003
NEWS	14	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS	15	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS	16	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation
NEWS	17	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS	18	SEP 22	DIPPR file reloaded
NEWS	19	SEP 25	INPADOC: Legal Status data to be reloaded
NEWS	20	SEP 29	DISSABS now available on STN
NEWS EXPRESS		OCTOBER 01	CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information
NEWS LOGIN			Welcome Banner and News Items
NEWS PHONE			Direct Dial and Telecommunication Network Access to STN
NEWS WWW			CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 09:31:39 ON 06 OCT 2003

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 09:32:13 ON 06 OCT 2003

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STRUCTURE FILE UPDATES: 3 OCT 2003 HIGHEST RN 598296-84-5

DICTIONARY FILE UPDATES: 3 OCT 2003 HIGHEST RN 598296-84-5

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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Uploading 09622815.str

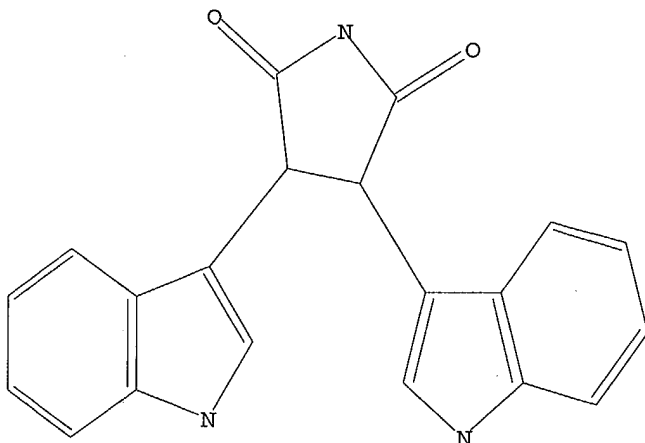
L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

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Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 09:32:47 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 90 TO ITERATE

100.0% PROCESSED 90 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1231 TO 2369

PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 09:32:51 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1965 TO ITERATE

100.0% PROCESSED 1965 ITERATIONS

30 ANSWERS

SEARCH TIME: 00.00.01

L3 30 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

148.15

148.36

FILE 'CAPLUS' ENTERED AT 09:32:55 ON 06 OCT 2003

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FILE COVERS 1907 - 6 Oct 2003 VOL 139 ISS 15  
FILE LAST UPDATED: 5 Oct 2003 (20031005/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 full

L4 21 L3

=> d 14 1-21 ibib abs hitstr

L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:491233 CAPLUS

DOCUMENT NUMBER: 139:69413

TITLE: Preparation of N-carbacycle monosubstituted indolocarbazoles for therapeutic use as protein kinase inhibitors

INVENTOR(S): Sahagun-Krause, Heidi; Thillaye Du Boullay, Olivier; Thillaye Du Boullay, Valerie; Casiraghi, Laura; Seneci, Pierfausto; Klafki, Hans-Wolfgang; Braxmeier, Tobias; Mueller, Silvia; Froehner, Wolfgang; Monse, Barbara; Gordon, Sandra; Roder, Hanno

PATENT ASSIGNEE(S): Nad Ag, Germany

SOURCE: PCT Int. Appl., 153 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051887	A1	20030626	WO 2002-EP13753	20021204
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

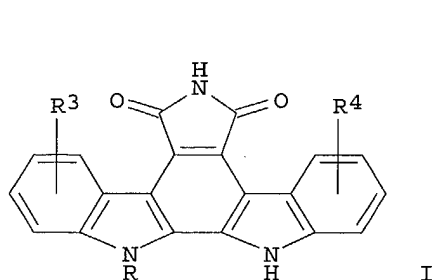
DE 10161940 A1 20030703 DE 2001-10161940 20011217

PRIORITY APPLN. INFO.: DE 2001-10161940 A 20011217

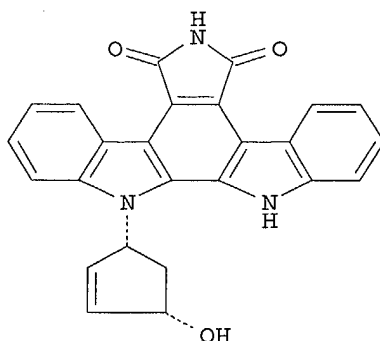
OTHER SOURCE(S): MARPAT 139:69413

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I



II

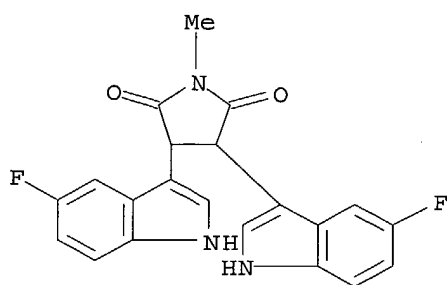
AB N-(carbacycyl)-indolocarbazoles, such as I [R = substituted or unsubstituted 5 or 6 membered carbacycyl; R3, R4 = H, halogen, alkyl, carboxy, carboxamide, amino, alkoxy, etc.], were prepd. as protein kinase inhibitors which are useful for the treatment of non-insulin dependent diabetes mellitus, acute stroke and other neurotraumatic injuries, malignant diseases, and neurodegenerative diseases, such as Alzheimer's disease. Thus, NAD 006 (II) was prepd. via a multistep synthetic sequence starting from cyclopentadiene, 1H-indole-3-acetonitrile and 2-indolone. The prepd. staurosporine analogs were assayed for inhibition of extracellular signal regulated kinase 2, protein kinase A, protein kinase C and glycogen synthase kinase 3.beta..

IT 287965-04-2P 551000-28-3P 551000-37-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of N-(carbacycyl)-indolocarbazoles for therapeutic use as protein kinase inhibitors)

RN 287965-04-2 CAPLUS

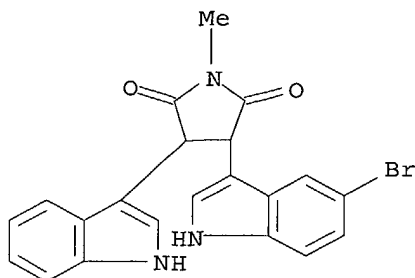
CN 2,5-Pyrrolidinedione, 3,4-bis(5-fluoro-1H-indol-3-yl)-1-methyl- (9CI) (CA INDEX NAME)



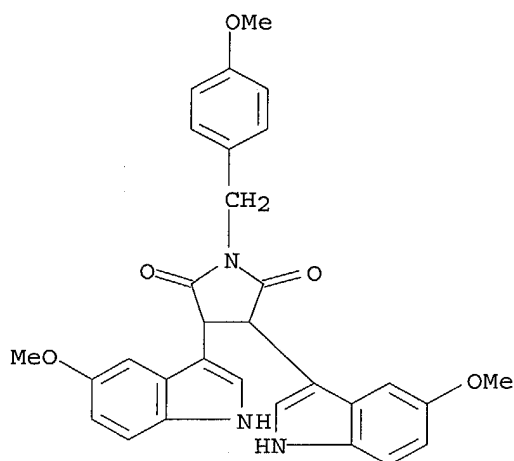
RN 551000-28-3 CAPLUS

CN 2,5-Pyrrolidinedione, 3-(5-bromo-1H-indol-3-yl)-4-(1H-indol-3-yl)-1-methyl- (9CI) (CA INDEX NAME)

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RN 551000-37-4 CAPLUS  
CN 2,5-Pyrrolidinedione, 3,4-bis(5-methoxy-1H-indol-3-yl)-1-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 2002:674531 CAPLUS  
DOCUMENT NUMBER: 138:89601  
TITLE: Synthesis, and cytotoxic activity of Nind-alkoxy derivatives of antibiotic arcyriarubin and dechloro-rebeccamycin aglycon  
AUTHOR(S): Lakatos, S. A.; Balzarini, J.; Andrei, G.; Snoeck, R.; De Clercq, E.; Preobrazhenskaya, M. N.  
CORPORATE SOURCE: G. F. Gause Institute of New Antibiotics, Russian Academy of Medical Sciences, Moscow, 119021, Russia  
SOURCE: Journal of Antibiotics (2002), 55(8), 768-773  
CODEN: JANTAJ; ISSN: 0021-8820  
PUBLISHER: Japan Antibiotics Research Association  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 138:89601  
AB N-alkoxyindole derivs. of arcyriarubin and dechloro-rebeccamycin aglycon were prepd. The cytotoxicity of the derivs. was detd. in three cancer cell lines.

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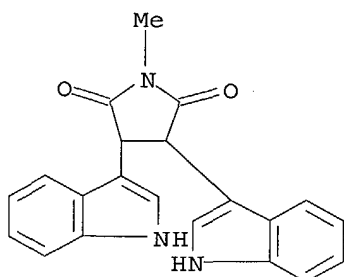
IT 238734-45-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(prepn of N-alkoxyindole derivs. of arcylarubin and  
dechloro-rebeccamycin aglycon from indole and N-methyl-2,3-  
dibromomaleimide and evaluation of their cytotoxic activity)

RN 238734-45-7 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-1-methyl- (9CI) (CA INDEX  
NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:701229 CAPLUS

DOCUMENT NUMBER: 134:29632

TITLE: Regio-controlled Synthesis of the Antitumor Antibiotic  
AT2433-A1

AUTHOR(S): Chisholm, John D.; Van Vranken, David L.

CORPORATE SOURCE: Department of Chemistry, University of California,  
Irvine, CA, 92697, USA

SOURCE: Journal of Organic Chemistry (2000), 65(22), 7541-7553  
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:29632

AB The indolo[2,3-a]carbazole glycosides are potent antitumor antibiotics currently undergoing clin. trials for the treatment of numerous types of cancer. AT2433-A1 is the most complex member of this family of compds. possessing a unique disaccharide with a sensitive aminodeoxy sugar and an unsym. aglycon. The synthesis of this natural product requires a method for glycosylation that sets the stereochem. of the anomeric center and the regiochem. of the aglycon. These goals were accomplished by carrying out the Mannich cyclization of a bis-3,4-(3-indolyl)succinimide to give a key class of indoline intermediates that could be glycosylated stereoselectively with complex carbohydrates without hydroxyl protection or activation. The regiochem. of the Mannich cyclization was precisely controlled by choosing between kinetic or thermodyn. conditions. This strategy culminated in the first synthesis of the antitumor antibiotic AT2433-A1.

IT 137467-08-4P 222632-24-8P 309758-01-8P

309758-18-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

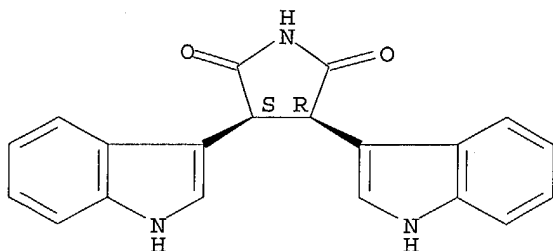
09622815

(regiocontrolled synthesis of the antitumor antibiotic AT2433-A1)

RN 137467-08-4 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

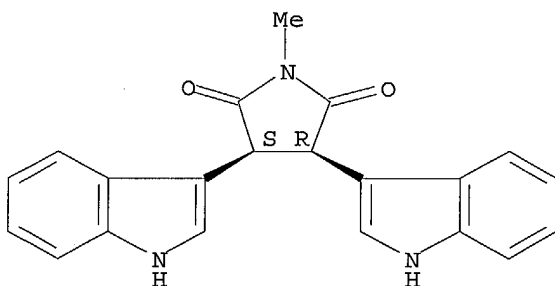
Relative stereochemistry.



RN 222632-24-8 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-1-methyl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

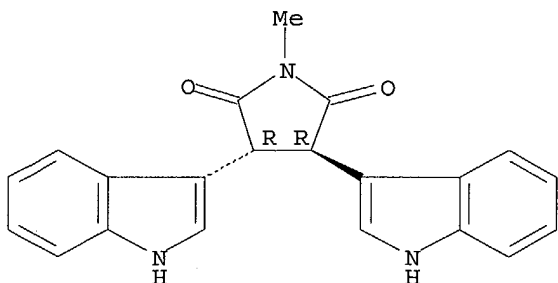
Relative stereochemistry.



RN 309758-01-8 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-1-methyl-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 309758-18-7 CAPLUS

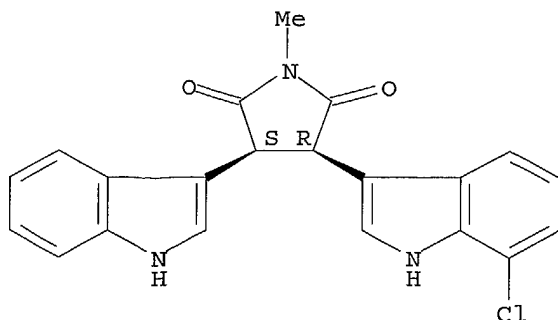
CN 2,5-Pyrrolidinedione, 3-(7-chloro-1H-indol-3-yl)-4-(1H-indol-3-yl)-1-



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methyl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

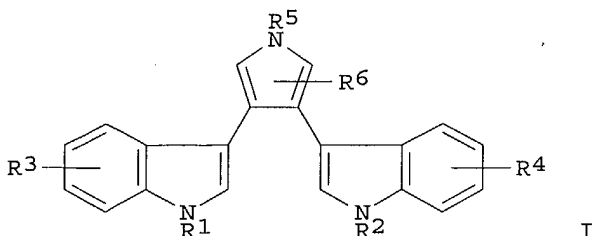
Relative stereochemistry.



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 2000:573789 CAPLUS  
DOCUMENT NUMBER: 133:177094  
TITLE: Preparation of 3,4-di(3-indolyl)pyrrole derivatives as cell death (apoptosis) inhibitors  
INVENTOR(S): Asakai, Rei; Sodeoka, Mikiko; Katoh, Miho; Fujita, Mikako  
PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan  
SOURCE: PCT Int. Appl., 71 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047575	A1	20000817	WO 2000-JP675	20000208
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000023277	A5	20000829	AU 2000-23277	20000208
EP 1152002	A1	20011107	EP 2000-902138	20000208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6589977	B1	20030708	US 2001-890827	20010806
PRIORITY APPLN. INFO.: JP 1999-31036 A 19990209				
WO 2000-JP675 W 20000208				
OTHER SOURCE(S): MARPAT 133:177094				
GI				



AB Bisindolylpyrrole derivs. represented by general formula (I; R1, R2 = H, (un)substituted alkyl, alkenyl, alkynyl, aryl, acyl, acyloxy, alkoxy, alkoxy, aryloxy, alkylthiocarbonyl, arylthiocarbonyl, CONH2, aminocarbonyloxy, alkylsulfonyl, arylsulfonyl, alkoxy, or aryloxy, HO; R4, R5 = H, (un)substituted alkyl, alkenyl, alkynyl, aryl, acyl, acyloxy, alkoxy, aryloxy, alkylthiocarbonyl, arylthiocarbonyl, aminocarbonyloxy, alkylthiocarbonyl, arylthiocarbonyl, CONH2, aminocarbonyloxy, alkylsulfonyl, arylsulfonyl, alkylsulfinyl, arylsulfinyl, alkoxy, aryloxy, alkylthio, or arylthio, HO, CO2H, SO3H, cyano, NO2, (un)substituted NH2, halo; R1 and R2, R1 and R3, R2 and R4, R3 and R6, R4 and R6, R5 and R6, two R3, or two R4 optionally from (un)substituted hydrocarbon chain optionally contg. hetero atoms; R6 = H, (un)substituted alkyl, alkenyl, aryl, acyl, aryloxy, aryloxy, aryloxy, alkylthiocarbonyl, arylthiocarbonyl, CONH2, aminocarbonyloxy, alkylsulfonyl, arylsulfonyl, alkylsulfinyl, arylsulfinyl, alkoxy, aryloxy, alkylthio, or arylthio, HO, CO2H, SO3H, cyano, (un)substituted NH2, halo) are prepd. These compds. are useful in inhibiting cell death and expected as being useful as preventives and remedies for the progress of various diseases in the progress and worsening of which cell death participates, e.g. (1) neurodegenerative diseases such as Alzheimer's disease, spinal muscular atrophy (SAM), amyotrophic lateral sclerosis (ALS), Parkinson's disease, Huntington's disease, pigmentary degeneration of the retina, glaucoma, and cerebellum degeneration, (2) new born jaundice, (3) muscular dystrophy, (4) cerebral ischemia or delayed neuron death following cerebral ischemia, (5) myocardial disorders/apoptosis in ischemic heart diseases, viral myocarditis, autoimmune myocarditis, cardiac hypertrophy, heart failure or right ventricular myocardial disease due to arrhythmia, (6) AIDS by inhibiting excessive apoptosis of T cells, (7) dermatitis, hair loss, and graft-vs.-host reaction, (8) disorders due to radiation or drugs, (9) septicemia, (10) myelodysplasty, (11) insulin-dependent diabetes, and (12) failure of transplant organ, tissue or cell function during transplant of organs, tissues, or cells. They are also useful as cell/tissue/organ preservatives. Thus, 9.36 mL 0.95 M ethylmagnesium bromide soln. was added to 1.0 g 2-methylindole in THF and stirred at 40.degree. for 45 min, followed by adding 500 mg 2,3-dibromomaleimide, and the resulting mixt. was refluxed for 3 h to give 62.4% N-methyl-2,3-bis(2-methyl-1H-indol-2-yl)maleimide (II). II (50 mg) was dissolved in 2 mL THF, followed by slowly adding 0.58 mL 0.94 M diisobutylaluminum hydride under ice-cooling, and the resulting mixt. was stirred at room temp. for 4 h to give 40.7% N-methyl-3,4-di(2-methyl-3-indolyl)pyrrole (III). III showed min. inhibitory concn. of 0.03 .mu.M for inhibiting sodium nitroprusside-induced apoptosis of porcine ovarian granulosa cells (POGC).

IT 115684-55-4P 238734-45-7P 287964-95-8P  
287964-98-1P 287965-00-8P 287965-04-2P

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287965-06-4P 287965-09-7P 287965-11-1P

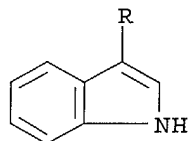
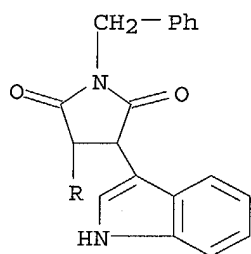
287965-16-6P 287965-18-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 3,4-di(3-indolyl)pyrrole derivs. as apoptosis inhibitors for treatment or prevention of diseases)

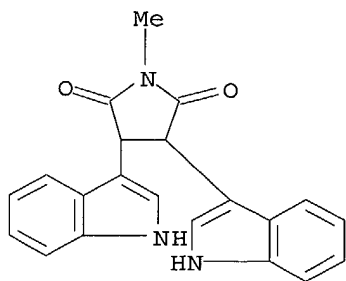
RN 115684-55-4 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 238734-45-7 CAPLUS

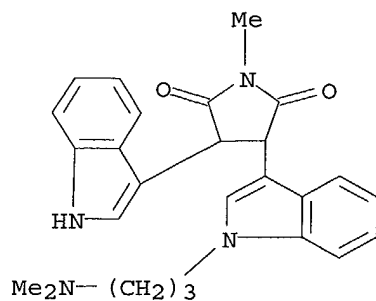
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RN 287964-95-8 CAPLUS

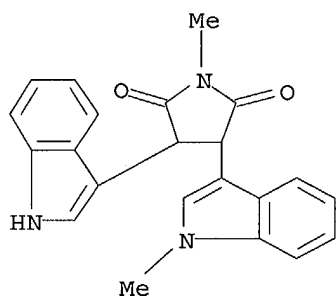
CN 2,5-Pyrrolidinedione, 3-[1-[3-(dimethylamino)propyl]-1H-indol-3-yl]-4-(1H-indol-3-yl)-1-methyl- (9CI) (CA INDEX NAME)

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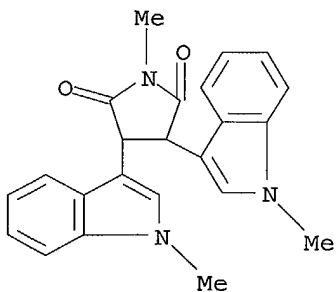
RN 287964-98-1 CAPLUS

CN 2,5-Pyrrolidinedione, 3-(1H-indol-3-yl)-1-methyl-4-(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



RN 287965-00-8 CAPLUS

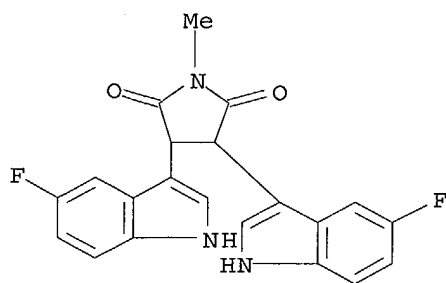
CN 2,5-Pyrrolidinedione, 1-methyl-3,4-bis(1-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



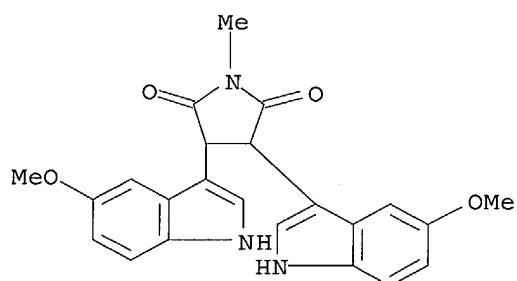
RN 287965-04-2 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-bis(5-fluoro-1H-indol-3-yl)-1-methyl- (9CI) (CA INDEX NAME)

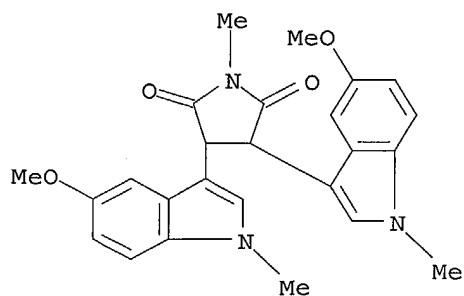
09622815



RN 287965-06-4 CAPLUS  
CN 2,5-Pyrrolidinedione, 3,4-bis(5-methoxy-1H-indol-3-yl)-1-methyl- (9CI)  
(CA INDEX NAME)

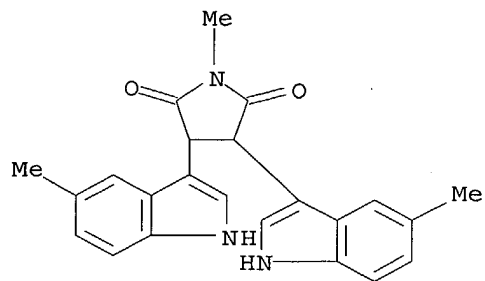


RN 287965-09-7 CAPLUS  
CN 2,5-Pyrrolidinedione, 3,4-bis(5-methoxy-1-methyl-1H-indol-3-yl)-1-methyl-  
(9CI) (CA INDEX NAME)

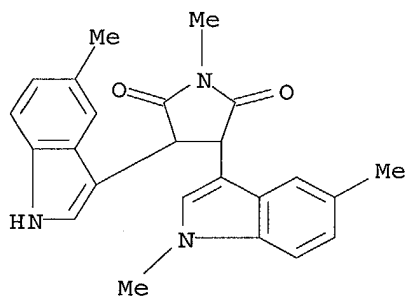


RN 287965-11-1 CAPLUS  
CN 2,5-Pyrrolidinedione, 1-methyl-3,4-bis(5-methoxy-1-methyl-1H-indol-3-yl)- (9CI) (CA  
INDEX NAME)

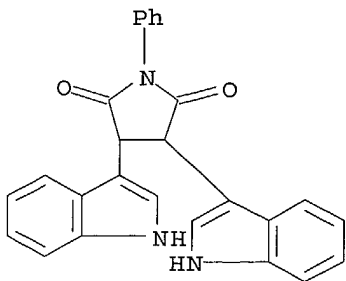
09622815



RN 287965-16-6 CAPLUS  
CN 2,5-Pyrrolidinedione, 3-(1,5-dimethyl-1H-indol-3-yl)-1-methyl-4-(5-methyl-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



RN 287965-18-8 CAPLUS  
CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-1-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 2000:553874 CAPLUS  
DOCUMENT NUMBER: 133:310045  
TITLE: Coupling reactions of indole-3-acetic acid derivatives. Synthesis of arcyrinaflavin A  
AUTHOR(S): Bergman, Jan; Koch, Eva; Pelcman, Benjamin  
CORPORATE SOURCE: Karolinska Institute, Department of Biosciences of

09622815

SOURCE: Novum, Sweden and Sodertorn University College,  
Huddinge, SE-14157, SE-141 04, Swed.  
Perkin 1 (2000), (16), 2609-2614  
CODEN: PERKF9  
PUBLISHER: Royal Society of Chemistry  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 133:310045  
GI

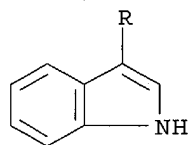
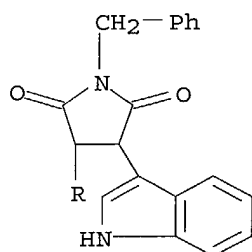
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The bisindolesuccinic acid Me ester I was obtained by an iodine-promoted coupling of the dianion II. The diester was converted to the N-benzylimide III, which was oxidatively cyclized to the indolo[2,3-a]pyrrolo[3,4-c]carbazol IV. The diester I could be directly transformed to the known indolocarbazole diester V via acid-induced intramol. cyclization in TFA. The same methodol. gave arcyriflavin A from the succinimide VI.

IT **115684-55-4P 137467-08-4P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(coupling reactions of indole-3-acetic acid derivs., synthesis of arcyriflavin A)

RN 115684-55-4 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

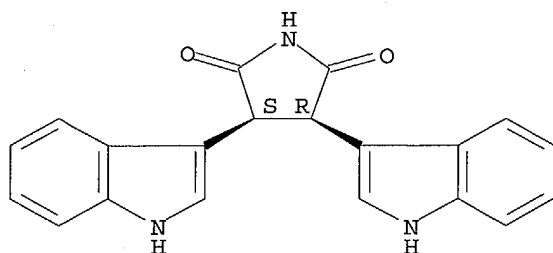


RN 137467-08-4 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

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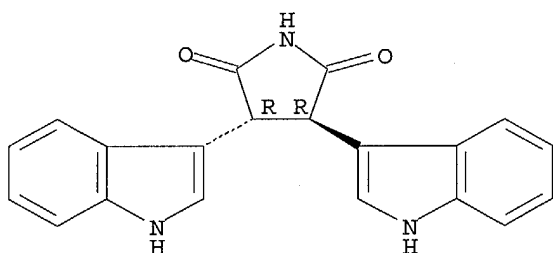
IT 137467-07-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(coupling reactions of indole-3-acetic acid derivs., synthesis of  
arcyriaflavin A)

RN 137467-07-3 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-, (3R,4R)-rel- (9CI) (CA INDEX  
NAME)

Relative stereochemistry.



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:549150 CAPLUS

DOCUMENT NUMBER: 131:165337

TITLE: Indole derivatives as cell death inhibitors

INVENTOR(S): Asakai, Rei; Sodeoka, Mikiko; Fujita, Mikako; Katoh,  
Miho

PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9942100	A1	19990826	WO 1999-JP772	19990222
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				
DK, EE, ES, FI, GB, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR,				
KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,				
PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US,				
UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				



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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
    FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
    CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9925486      A1  19990906      AU 1999-25486      19990222
EP 1057484      A1  20001206      EP 1999-905260      19990222
R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
    IE, FI

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JP 1998-40147	A	19980223
JP 1998-40148	A	19980223
JP 1998-162118	A	19980610
JP 1998-162119	A	19980610
WO 1999-JP772	W	19990222

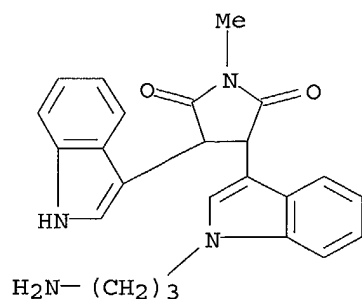
[illegible]

IT 238734-45-7P 238734-46-8P

RN 238734-45-7 CAPLUS

09622815

RN 238734-46-8 CAPLUS  
CN 2,5-Pyrrolidinedione, 3-[1-(3-aminopropyl)-1H-indol-3-yl]-4-(1H-indol-3-yl)-1-methyl- (9CI) (CA INDEX NAME)

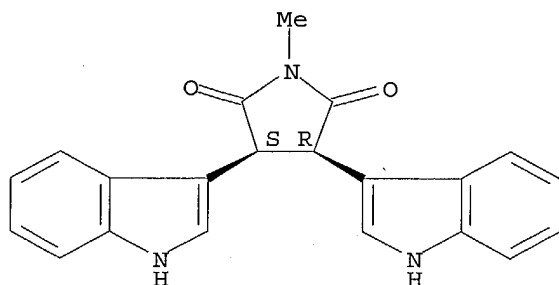


REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1999:426247 CAPLUS  
DOCUMENT NUMBER: 131:157870  
TITLE: Conformational Control in the Rebeccamycin Class of Indolocarbazole Glycosides  
AUTHOR(S): Gilbert, Eric J.; Chisholm, John D.; Van Vranken, David L.  
CORPORATE SOURCE: Department of Chemistry, The University of California, Irvine, CA, 92697-2025, USA  
SOURCE: Journal of Organic Chemistry (1999), 64(15), 5670-5676  
CODEN: JOCEAH; ISSN: 0022-3263  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Indolocarbazole glycosides are balanced between two conformations: a "closed" conformation contg. a cyclic hydrogen bond between the indolocarbazole NH and the pyranose oxygen and an "open" conformation in which the indolocarbazole NH is hydrogen bonded to solvent. The open conformation never has a commanding advantage, even in DMSO, but in nonpolar environments the cyclic conformation predominates.  
IT 222632-24-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. and conformational control in the rebeccamycin class of indolocarbazole glycosides)  
RN 222632-24-8 CAPLUS  
CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-1-methyl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

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REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:414220 CAPLUS

DOCUMENT NUMBER: 131:257739

TITLE: Indolocarbazoles: potent, selective inhibitors of human cytomegalovirus replication

AUTHOR(S): Slater, Martin J.; Cockerill, Stuart; Baxter, Robert; Bonser, Robert W.; Gohil, Kam; Gowrie, Clare; Robinson, J. Edward; Littler, Edward; Parry, Nigel; Randall, Roger; Snowden, Wendy

CORPORATE SOURCE: Glaxo Wellcome Medicines Research Centre, Stevenage, SG1 2NY, UK

SOURCE: Bioorganic & Medicinal Chemistry (1999), 7(6), 1067-1074

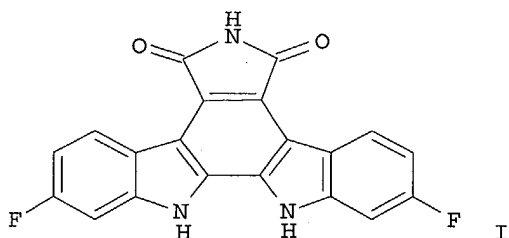
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB In a search for new, safer anti-HCMV agents, the natural product Arcyriaflavin A was found to be a potent inhibitor of HCMV replication in cell culture. A series of analogs (sym. indolocarbazoles) was synthesized to investigate structure-activity relationships in this series against a range of herpes viruses (HCMV, VZV, HSV1, and 2). This identified a no. of novel, selective and potent inhibitors of HCMV, 12,13-dihydro-2,10-difluoro-5H-indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7-(6H)-dione (I) being the best example (IC<sub>50</sub> = 40 nM, therapeutic index > 1450). Compds. described in this series were generally poor inhibitors of protein kinase C .beta.II, and no correlation was found between the ability to inhibit HCMV and the enzyme PKC.

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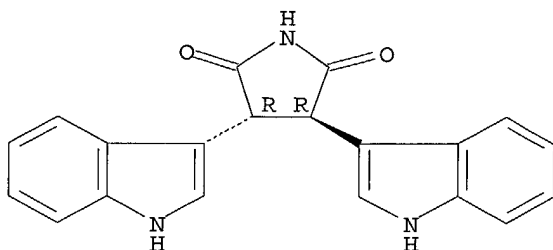
IT 137467-07-3 137467-08-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(indolocarbazoles: potent, selective inhibitors of human cytomegalovirus replication)

RN 137467-07-3 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

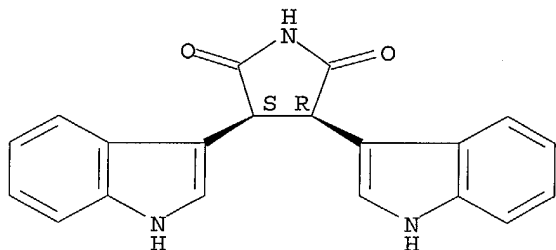
Relative stereochemistry.



RN 137467-08-4 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:218066 CAPLUS

DOCUMENT NUMBER: 130:282271

TITLE: A Caveat in the Application of the Exciton Chirality Method to N,N-Dialkyl Amides. Synthesis and Structural Revision of AT2433-B1

AUTHOR(S): Chisholm, John D.; Golik, Jerzy; Krishnan, Bala; Matson, James A.; Van Vranken, David L.

CORPORATE SOURCE: Department of Chemistry, University of California, Irvine, CA, 92697, USA

SOURCE: Journal of the American Chemical Society (1999), 121(15), 3801-3802

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

09622815

LANGUAGE: English  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Utilizing a Mannich cyclization/glycosylation route for the synthesis of indolo-carbazole glycosides and re-exam. of stereo-assignment using CD Cotton effects, the authors propose a revision of the abs. stereochem. of the amino-sugar ring of antibiotic AT2433-B1 (I), and by analogy, -A1, -A2, and -B2 members of the family. The abs. stereochem. of the amino-sugar was originally assigned as 3R, 4R by application of the exciton chirality method to a dibenzoyl deriv., from methanolysis of AT2433A1, based on the neg. chirality of the exciton couple. Independent synthesis of the pyranoside (II) gave a product with pos. chirality, opposite that predicted from amino-alcs. with the same abs. configuration. Mol. modeling studies indicated only a small energy difference in amide conformations of II, leading to opposite Cotton effects in the CD spectrum for E and Z amides; the overall resulting CD spectra depends on the relative population of conformers and the degree to which the two chromophores interact in each conformer, leading to either pos. or neg. chirality depending on which conformer dominated the CD spectrum.

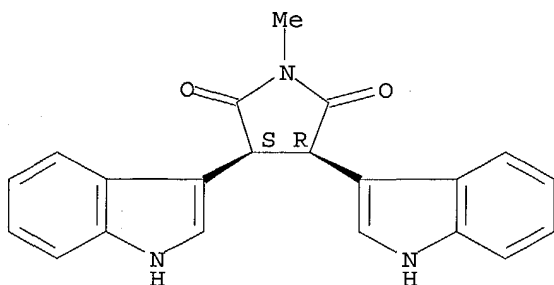
IT 222632-24-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and reaction of in the synthesis and structural revision of AT2433-B1)

RN 222632-24-8 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-1-methyl-, (3R,4S)-rel- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:496561 CAPLUS

DOCUMENT NUMBER: 129:202825

TITLE: A New, Efficient Method for the Synthesis of Bisindolylmaleimides

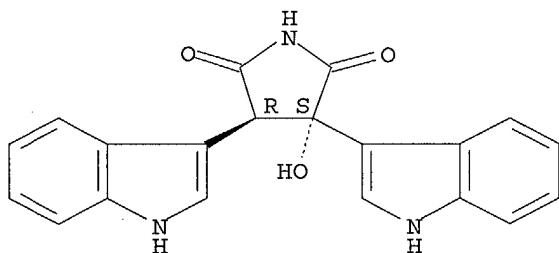
AUTHOR(S): Faul, Margaret M.; Winneroski, Leonard L.; Krumrich, Christine A.

CORPORATE SOURCE: Chemical Process Research and Development Division,

09622815

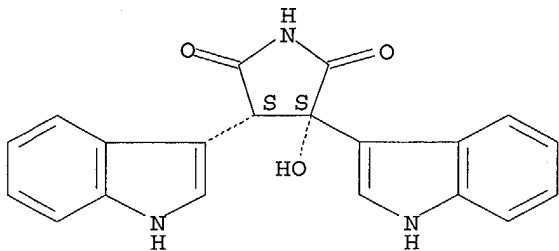
SOURCE: Lilly Research Laboratories A Division of Eli Lilly and Company, Indianapolis, IN, 46285-4813, USA  
Journal of Organic Chemistry (1998), 63(17), 6053-6058  
CODEN: JOCEAH; ISSN: 0022-3263  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 129:202825  
AB Both sym. and unsym. bisindolylmaleimides were prep'd. by reaction of indole-3-acetamides with Me indolyl-3-glyoxylates using a soln. of KOBu-t in THF. The reaction is successful in the presence of a variety of functional groups (H, alkyl, Oh, NMe2, OTr).  
IT 212200-73-2P 212200-74-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of bisindolylmaleimides)  
RN 212200-73-2 CAPLUS  
CN 2,5-Pyrrolidinedione, 3-hydroxy-3,4-di-1H-indol-3-yl-, (3R,4S)-rel- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.



RN 212200-74-3 CAPLUS  
CN 2,5-Pyrrolidinedione, 3-hydroxy-3,4-di-1H-indol-3-yl-, (3R,4R)-rel- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

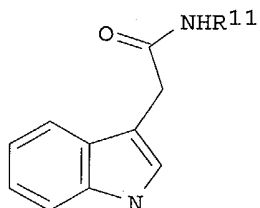
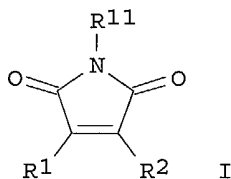
L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1998:147304 CAPLUS  
DOCUMENT NUMBER: 128:192545  
TITLE: Synthesis of bisindolylmaleimides as potent PKC

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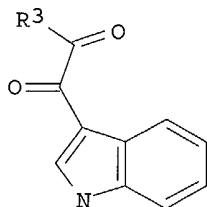
inhibitors  
INVENTOR(S): Faul, Margaret Mary; Winneroski, Leonard L., Jr.  
PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
SOURCE: PCT Int. Appl., 47 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9807693	A1	19980226	WO 1997-US14771	19970822
W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
EP 825190	A1	19980225	EP 1997-306438	19970822
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO			
AU 9741570	A1	19980306	AU 1997-41570	19970822
AU 716840	B2	20000309		
BR 9711363	A	19990817	BR 1997-11363	19970822
CN 1228082	A	19990908	CN 1997-197361	19970822
US 5990319	A	19991123	US 1997-917052	19970822
NZ 334030	A	20000825	NZ 1997-334030	19970822
JP 2000516632	T2	20001212	JP 1998-510991	19970822
US 5948907	A	19990907	US 1998-81252	19980519
US 6133452	A	20001017	US 1999-234722	19990121
NO 9900832	A	19990413	NO 1999-832	19990222
PRIORITY APPLN. INFO.:			US 1996-24120P	P 19960823
			US 1997-917052	A 19970822
			WO 1997-US14771	W 19970822

OTHER SOURCE(S): CASREACT 128:192545; MARPAT 128:192545  
GI



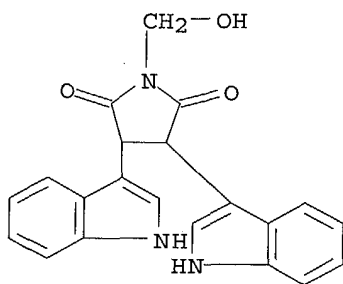
II



III

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arteries  
AUTHOR(S): Fabre, S.; Prudhomme, M.  
CORPORATE SOURCE: Laboratoire de Chimie Organique Biologique,  
Universite, Blaise Pascal, Aubiere, F-63177, Fr.  
SOURCE: Archives Internationales de Pharmacodynamie et de  
Therapie (1995), 329(3), 397-404  
CODEN: AIPTAK; ISSN: 0003-9780  
PUBLISHER: Heymans Institute of Pharmacology  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB The effects of 12 compds., structural related to the indolocarbazole  
bacterial metabolite staurosporine, on caffeine-induced contractions in  
rabbit renal arteries were studied. Eight of these compds. are effective  
protein kinase C inhibitors, the others are inactive towards the enzyme.  
The results show a link between the protein kinase C inhibitory activity  
and the inhibition of vascular smooth muscle contraction. However, a  
strong inhibition of protein kinase C is required to observe the  
vasorelaxant effect.  
IT 169211-30-7  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); BIOL (Biological study)  
(vasorelaxant effects of indolocarbazole and bis-indole protein kinase  
C inhibitors on rabbit renal arteries)  
RN 169211-30-7 CAPLUS  
CN 2,5-Pyrrolidinedione, 1-(hydroxymethyl)-3,4-di-1H-indol-3-yl- (9CI) (CA  
INDEX NAME)



L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1995:776459 CAPLUS  
DOCUMENT NUMBER: 123:193410  
TITLE: Antimicrobial activities of indolocarbazole and  
bis-indole protein kinase C inhibitors. II.  
Substitution on maleimide nitrogen with functional  
groups bearing a labile hydrogen  
AUTHOR(S): Pereira, Elisabete Rodrigues; Fabre, Serge; Sancelme,  
Martine; Prudhomme, Michelle  
CORPORATE SOURCE: Laboratoire de Chimie Organique Biologique, Universite  
Blaise Pascal, Aubiere, 63177, Fr.  
SOURCE: Journal of Antibiotics (1995), 48(8), 863-8  
CODEN: JANTAJ; ISSN: 0021-8820  
PUBLISHER: Japan Antibiotics Research Association  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB K-252c derivs., structurally related to the potent protein kinase C



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inhibitor staurosporine and substituted on the imide nitrogen with a function group bearing a labile hydrogen (hydroxymethyl, amino, hydroxy), were synthesized. Their in vitro inhibitory potencies towards protein kinase C and protein kinase A showed that N-hydroxymethyl and N-hydroxy substitution, unlike alkyl substitution, can provide efficient protein kinase C inhibitors. The antimicrobial activities of these new compds. against *Streptomyces chartreusis* and *Streptomyces griseus*, *Bacillus cereus*, *Escherichia coli*, *Candida albicans* and *Botrytis cinerea* were examd. They prove to be inactive against *E. coli* and two fungi. The results suggest that there is no link between in vitro inhibition of protein kinase C and inhibition of growth and sporulation of the two *Streptomyces* tested. Unlike indolocarbazole maleimides, bis-indole maleimides are active against the two *Streptomyces* species.

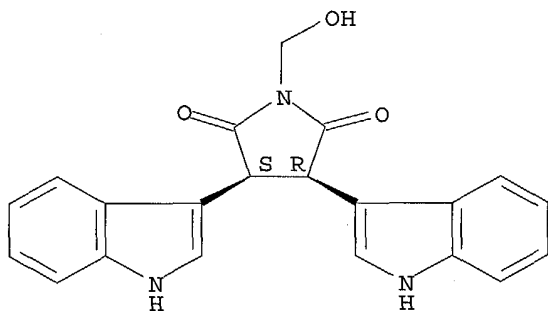
IT 152540-67-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
(antimicrobial activities of indolocarbazole and bis-indole protein kinase C inhibitors)

RN 152540-67-5 CAPLUS

CN 2,5-Pyrrolidinedione, 1-(hydroxymethyl)-3,4-di-1H-indol-3-yl-, cis- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:772989 CAPLUS

DOCUMENT NUMBER: 123:167736

TITLE: Microtetraspora strain for preparation of indolopyrrolocarbazole derivatives

INVENTOR(S): Kojiri, Katsuhisa; Suzuki, Hajime; Kondo, Hisao; Suda, Hiroyuki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: U.S., 9 pp. Cont.-in-part of U.S. Ser. No. 68, 097.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5437996	A	19950801	US 1993-166364	19931214
PL 172609	B1	19971031	PL 1992-316369	19921127
TW 390907	B	20000521	TW 1993-82110519	19931211

09622815

US 5591842	A	19970107	US 1994-255980	19940608
US 5589365	A	19961231	US 1995-381286	19950131
US 5643760	A	19970701	US 1995-486640	19950607

PRIORITY APPLN. INFO.:

US 1992-981070	A2	19921124
JP 1992-353623	A	19921214
JP 1993-53035	A	19930218
US 1993-68097	A2	19930528
JP 1991-341916	A	19911129
JP 1992-69269	A	19920218
JP 1992-257306	A	19920901
WO 1992-JP1549	W	19921127
US 1993-166364	A2	19931214

OTHER SOURCE(S):            MARPAT 123:167736  
GI

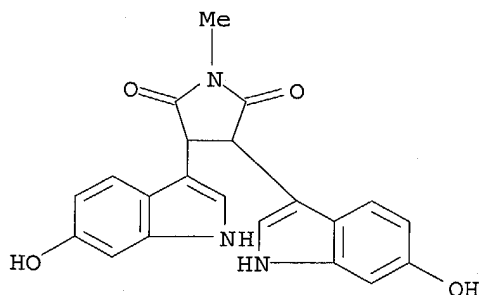
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB A process is disclosed for prepn. of compds. represented by (I), wherein X1 and X2 each independently represent H, a halogen, amino, mono- or di-lower alkylamino, OH, lower alkoxy, aralkoxy, carboxyl, lower alkoxy carbonyl, lower alkanoyloxy, or lower alkyl group and R is H, an amino, formylamino, lower alkanoylamino, mono- or di-lower alkylamino, OH, lower alkoxy, aralkoxy, aralkyl, or lower alkyl group, which comprises cultivating a microorganism having an ability to glycosylate a compd. represented by (II) wherein X1, X2, and R have the same meanings as defined above, in a nutrient medium contg. II, and recovering the formed I from the culture medium. The resultant compds. I have excellent antitumor activity.

IT **167411-61-2P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of and dichlorodicyanobenzoquinone reaction with)

RN 167411-61-2 CAPLUS

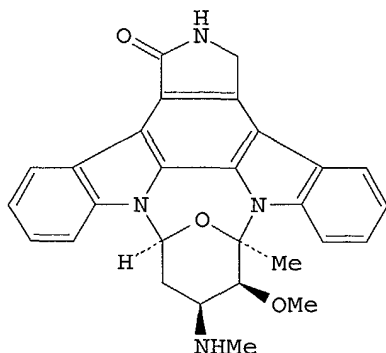
CN 2,5-Pyrrolidinedione, 3,4-bis(6-hydroxy-1H-indol-3-yl)-1-methyl- (9CI)  
(CA INDEX NAME)



L4 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1995:35271 CAPLUS  
DOCUMENT NUMBER: 122:5286  
TITLE: Antimicrobial activities of indolocarbazole and  
bis-indole protein kinase C inhibitors

09622815

AUTHOR(S): Sancelme, Martine; Fabre, Serge; Prudhomme, Michelle  
CORPORATE SOURCE: Laboratoire Chimie Organique Biologique, Universite  
Blaise Pascal, Aubiere, 63177, Fr.  
SOURCE: Journal of Antibiotics (1994), 47(7), 792-8  
CODEN: JANTAJ; ISSN: 0021-8820  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



I

AB The antimicrobial activities of twenty-two substances structurally related to staurosporine (I), aglycon in the indolocarbazole and bis-indole series were examd. against *Streptomyces chartreusis* and *Streptomyces griseus*, *Bacillus cerus*, *Escherichia coli*, *Candida albicans* and *Botrytis cinerea*. Inhibition of sporulation was examd. also on the two *Streptomyces* species. Unlike literature reports for efficient protein kinase inhibitors, staurosporine and K-252a, no evident correlation could be found either between protein kinase inhibitory potencies and inhibition of sporulation of the *Streptomyces* species or protein kinase between inhibitory potencies and growth of all microorganisms tested. A weak activity against *C. albicans* was obsd. for the chloro-indolocarbazole compds. as already reported for structurally related substances from the cyanobacterium *Tolypothrix tjipanasensis*.

IT 137467-08-4

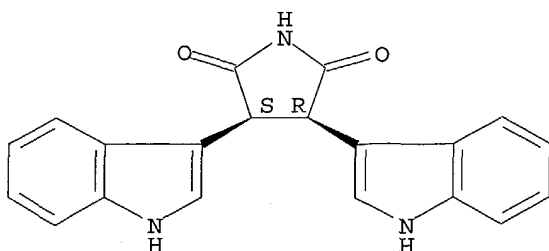
RL: BIOL (Biological study)

(antimicrobial activity of and protein kinase C insensitivity to)

RN 137467-08-4 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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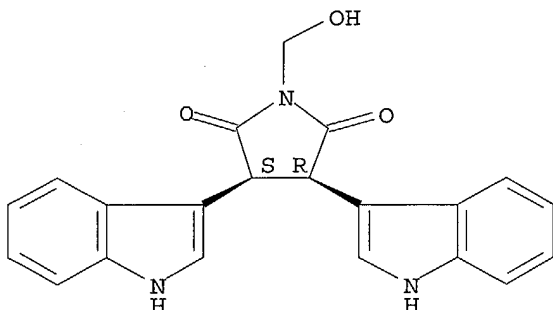
IT 152540-67-5

RL: BIOL (Biological study)  
(antimicrobial and protein kinase C-inhibiting activities of)

RN 152540-67-5 CAPLUS

CN 2,5-Pyrrolidinedione, 1-(hydroxymethyl)-3,4-di-1H-indol-3-yl-, cis- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:128295 CAPLUS

DOCUMENT NUMBER: 120:128295

TITLE: Protein kinase C inhibitors; structure-activity relationships in K252c-related compounds

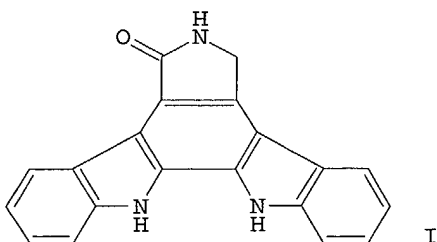
AUTHOR(S): Fabre, Serge; Prudhomme, Michelle; Rapp, Maryse  
CORPORATE SOURCE: Lab. Chim. Org. Biol., Univ. Blaise Pascal, Aubiere, 63177, Fr.

SOURCE: Bioorganic & Medicinal Chemistry (1993), 1(3), 193-6  
CODEN: BMECEP; ISSN: 0968-0896

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB K252c (I)-related compds. were prepd. with different framework flexibilities and different functions (imide, amide and amide-alc.) on the nonindole heterocycle. The inhibitory activities towards protein kinase C and protein kinase A were compared.

IT 137467-08-4P 152540-67-5P

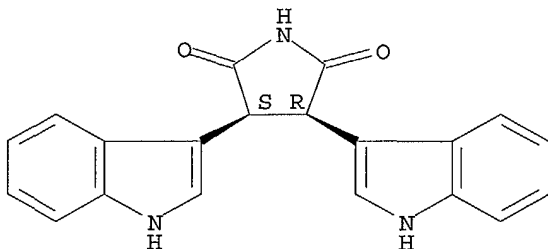
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and protein kinase C-inhibiting activity of, structure in relation to)

09622815

RN 137467-08-4 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

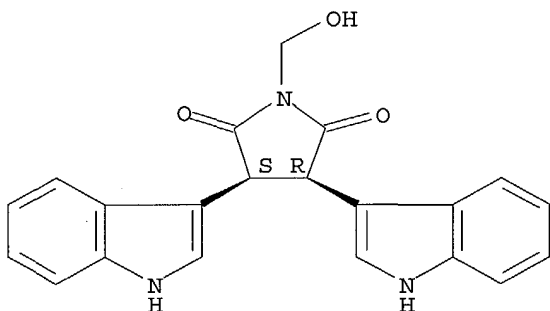
Relative stereochemistry.



RN 152540-67-5 CAPLUS

CN 2,5-Pyrrolidinedione, 1-(hydroxymethyl)-3,4-di-1H-indol-3-yl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:106760 CAPLUS

DOCUMENT NUMBER: 120:106760

TITLE: Antiviral bis(indolyl)pyrrolidones

INVENTOR(S): Slater, Martin John; Cockerill, George Stuart; Littler, Edward

PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

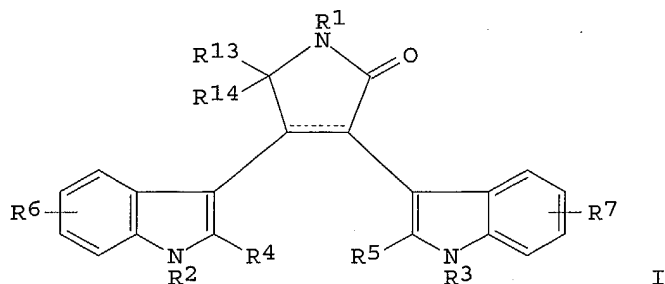
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9318765	A1	19930930	WO 1993-GB570	19930319
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,				

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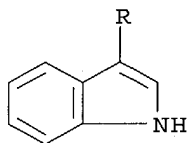
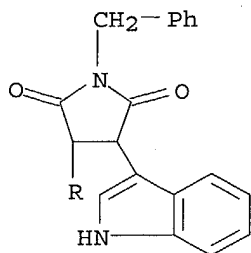
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG  
AU 9337613 A1 19931021 AU 1993-37613 19930319  
EP 630241 A1 19941228 EP 1993-906708 19930319  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE  
JP 07504673 T2 19950525 JP 1993-516378 19930319  
PRIORITY APPLN. INFO.: GB 1992-6056 19920320  
GB 1992-6809 19920327  
WO 1993-GB570 19930319  
OTHER SOURCE(S): MARPAT 120:106760  
GI



AB The title compds. I [R1 = H, alkylcarbonyl, arylcarbonyl, CO<sub>2</sub>H, carboxylate ester, (un)substituted C1-8 alkyl, (un)substituted C1-8 alkenyl, etc.; R2, R3 = H, arylcarbonyl, alkylcarbonyl, CHO, CO<sub>2</sub>H, carboxylate ester, H, etc.; R4, R5 = H, (un)substituted C1-6 alkyl, (un)substituted C3-7 cycloalkyl, (un)substituted aryl, etc.; R6, R7 = H, (un)substituted C1-6 alkyl, CN, NO<sub>2</sub>, halogen, methylenedioxy etc.; R13, R14 = H, alkoxy, aryloxy, alkylthio, arylthio, etc.; R13R14 = :O], useful in the treatment of coxsackie virus, (no data), varicella zoster virus (no data), Epstein-Barr virus (no data), cytomegalovirus, etc., are prepd. and I-contg. formulations presented. Thus, 3,4-bis(1H-2-methylindol-3-yl)-2,5-dihydro-1-phenylmethyl-1H-pyrrolo-2,5-dione was reacted in EtOH with Zn amalgam, producing cis-3,4-bis(2-methyl-1H-indol-3-yl)-1-phenylmethylsuccinimide (II). II demonstrated 50% viral inhibitory concn. against human cytomegalovirus-infected MRC5 (human embryonic lung) cells of 8.5 .mu.M.

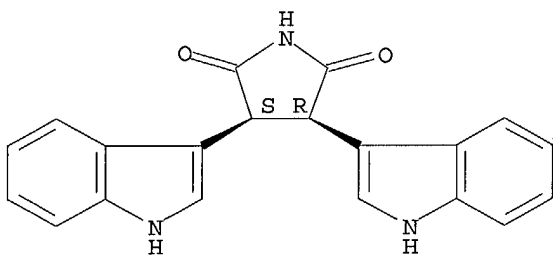
IT 115684-55-4 137467-08-4  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(antiviral activity of)  
RN 115684-55-4 CAPLUS  
CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

09622815



RN 137467-08-4 CAPLUS  
CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

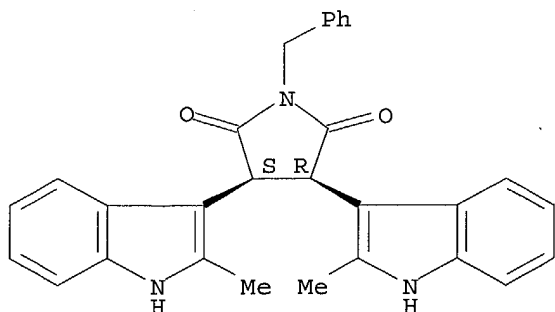
Relative stereochemistry.



IT 152538-08-4P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and antiviral activity of)  
RN 152538-08-4 CAPLUS  
CN 2,5-Pyrrolidinedione, 3,4-bis(2-methyl-1H-indol-3-yl)-1-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09622815



L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:576457 CAPLUS

DOCUMENT NUMBER: 119:176457

TITLE: New fluorescent probes for protein kinase C. Synthesis, characterization, and application

AUTHOR(S): Chen, Chii Shiarng; Poenie, Martin

CORPORATE SOURCE: Dep. Zool., Univ. Texas, Austin, TX, 78712, USA

SOURCE: Journal of Biological Chemistry (1993), 268(21), 15812-22

CODEN: JBCHA3; ISSN: 0021-9258

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Fluorescent derivs. of the bisindolylmaleimide inhibitors of protein kinase C (PKC) were synthesized and tested with respect to their inhibitory potency, specificity, and usefulness as fluorescent cytol. stains for PKC. Several of the fluorescent bisindolylmaleimide derivs. (fim-1, fim-2, and rim-1) acted as ATP-competitive catalytic site inhibitors and retained much of the potency and specificity of the parental compd. The R6-C1 and the PKC.beta.1-overexpressing R6-PCK3 cell lines were used for testing fim-1 and rim-1 as cytol. strains for PKC. Comparisons showed that the R6-PCK3 cells stained much more brightly than R6-C1 cells. When R6-PCK3 cells were treated with the phorbol ester phorbol 12-myristate 13-acetate (PMA) for 30 min, staining with fim-1 or anti-PKC.beta.1 revealed a dramatic translocation of PKC to the cell periphery. When R6-PCK3 cells were exposed to PMA for 24 h to down-regulate PKC, cytoplasmic staining was drastically reduced. Staining patterns obtained with an antibody specific for PKC.beta.1 and with fim-1 were remarkably similar except for mitochondrial staining, which was only seen with fim-1. A closer examn. of the mitochondrial staining showed that mitochondria convert from filamentous to punctate shapes and cluster around the nucleus when cells are treated with PMA. This punctate morphol., perinuclear clustering, and staining with fim-1 persists when PKC is down-regulated. Overall, these results indicate that fim-1 and rim-1 can serve as useful fluorescent probes for PKC. The mitochondrial staining may be due to a PKC isoform resistant to downregulation.

IT 150234-70-1P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and spectral properties of)

RN 150234-70-1 CAPLUS

CN Spiro[isobenzofuran-1(3H), 9'-[9H]xanthene]-5-carboxamide, 3',6'-bis(acetyloxy)-N-[3-[3-[4-(1-methyl-1H-indol-3-yl)-2,5-dioxo-3-pyrrolidinyl]-1H-indol-1-yl]propyl]-3-oxo-, diacetate (9CI) (CA INDEX NAME)

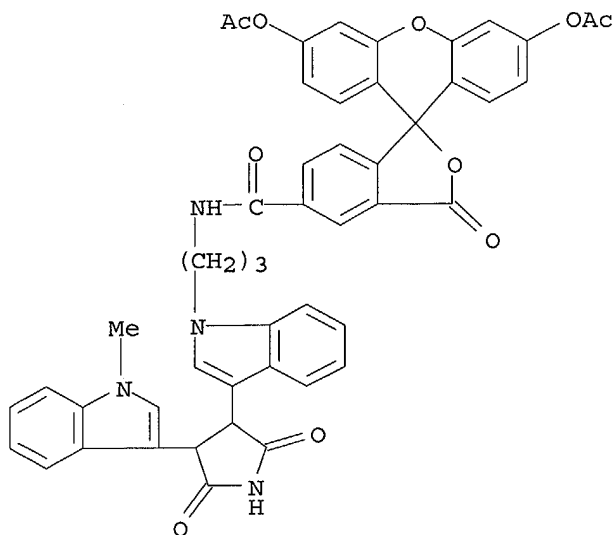


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CM 1

CRN 150234-69-8

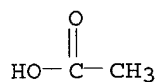
CMF C49 H38 N4 O10



CM 2

CRN 64-19-7

CMF C2 H4 O2



L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1992:41230 CAPLUS

DOCUMENT NUMBER: 116:41230

TITLE: Inhibitors of protein kinase C. 1.  
2,3-bisarylmaleimides

AUTHOR(S): Davis, Peter D.; Hill, Christopher H.; Lawton,  
Geoffrey; Nixon, John S.; Wilkinson, Sandra E.; Hurst,  
Steven A.; Keech, Elizabeth; Turner, Susan E.  
CORPORATE SOURCE: Roche Prod. Ltd., Welwyn Garden City/Herts., AL7 3AY,  
UK

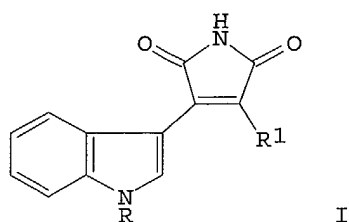
SOURCE: Journal of Medicinal Chemistry (1992), 35(1), 177-84  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

09622815



AB A series of novel inhibitors, i.e., maleimides I (R = H, Me; R1 = (un)substituted indolyl, (un)substituted Ph, naphthyl, benzo[b]thien-3-yl, benzo[b]furan-3-yl, 3-pyrrolyl) of protein kinase C (PKC) is described. These maleimides were derived from the structural lead provided by the indolocarbazoles, staurosporine and K252a. Optimum activity required the imide NH, both carbonyl groups, and the olefinic bond of the maleimide ring. Bisindolylmaleimides were the most active and the potency of these was improved by a chloro substituent at the 5-position of one indole ring (IC50 0.11 .mu.M). In a series of (phenylindolyl)maleimides, nitro deriv. I (R = Me, R1 = 2-O2NC6H5) was most active (IC50 0.67 .mu.M). Naphthalene compd. I (R = Me, R1 = 1-naphthyl) and benzothiphenene compd. I (R = Me, R2 = benzo[b]thien-3-yl) showed greater than 100-fold selectivity for inhibition of PKC over the closely related cAMP-dependent protein kinase.

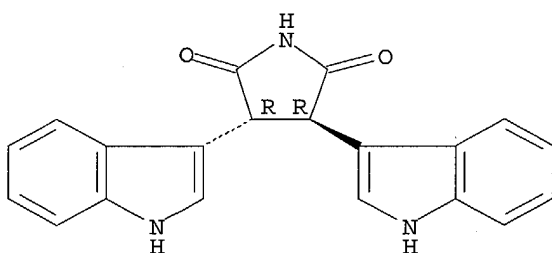
IT 137467-07-3P 137467-08-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and protein kinase C inhibiting activity of)

RN 137467-07-3 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

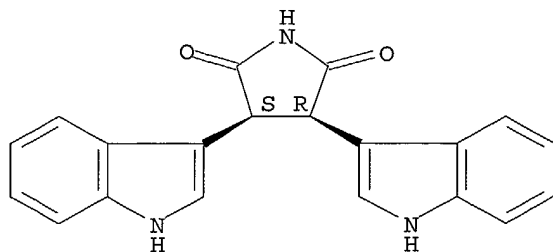


RN 137467-08-4 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

09622815



L4 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:674290 CAPLUS

DOCUMENT NUMBER: 115:274290

TITLE: The bisindolylmaleimide GF 109203X is a potent and selective inhibitor of protein kinase C

AUTHOR(S): Toullec, Dominique; Pianetti, Pascal; Coste, Herve; Bellevergue, Patrice; Grand-Perret, Thierry; Ajakane, Myriam; Baudet, Valerie; Boissin, Patrick; Boursier, Eric; et al.

CORPORATE SOURCE: Cent. Rech., Lab. Glaxo, Les Ulis, 91951, Fr.

SOURCE: Journal of Biological Chemistry (1991), 266(24), 15771-81

CODEN: JBCHA3; ISSN: 0021-9258

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Staurosporine is the most potent inhibitor of protein kinase C (PKC) described in the literature with a half-maximal inhibitory concn. (IC<sub>50</sub>) of 10 nM. Nevertheless, this natural product is poorly selective when assayed against other protein kinases. To obtain specific PKC inhibitors, a series of bisindolylmaleimides has been synthesized. Structure-activity relationship studies allowed the detn. of the substructure responsible for conferring high potency and lack of selectivity in the staurosporine mol. Several aminoalkyl bisindolylmaleimides were found to be potent and selective PKC inhibitors (IC<sub>50</sub> values from 5 to 70 nM). Among these compds. GF 109203X has been chosen for further studies aiming at the characterization of this chem. family. GF 109203X was a competitive inhibitor with respect to ATP (K<sub>i</sub> = 14 nM) and displayed high selectivity for PKC as compared to five different protein kinases. The potency and specificity of GF 109203X was further detd. in 2 cellular models: human platelets and Swiss 3T3 fibroblasts. GF 109203X efficiently prevented PKC-mediated phosphorylations of an Mr = 47,000 protein in platelets and of an Mr = 80,000 protein in Swiss 3T3 cells. In contrast, in the same models, the PKC inhibitor failed to prevent PKC-independent phosphorylations. GF 109203X inhibited collagen- and .alpha.-thrombin-induced platelet aggregation as well as collagen-triggered ATP secretion. However, ADP-dependent reversible aggregation was not modified. In Swiss 3T3 fibroblasts, GF 109203X reversed the inhibition of EGF binding induced by phorbol 12,13-dibutyrate and prevented [3H]thymidine incorporation into DNA, only when this was elicited by growth promoting agents which activate PKC. These results illustrate the potential of GF 109203X as a tool for studying the involvement of PKC in signal transduction pathways.

IT 137592-36-0

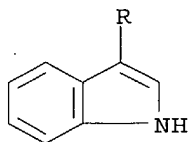
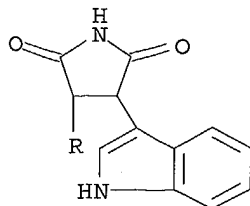
RL: BIOL (Biological study)

(protein kinase C of human and lab. animal inhibition by, structure in relation to)

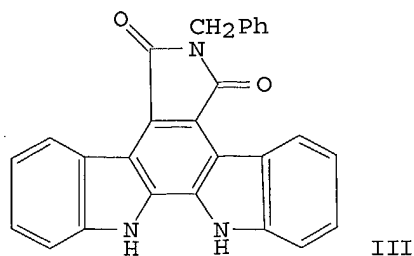
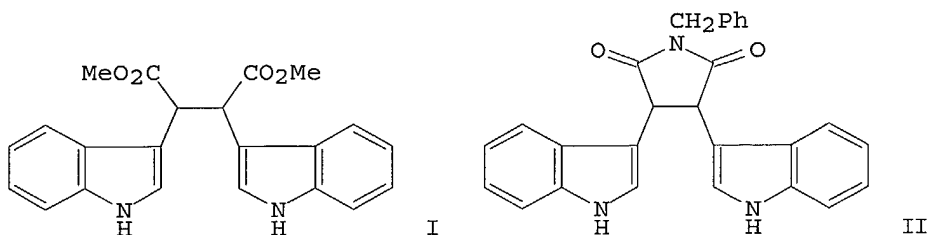
RN 137592-36-0 CAPLUS

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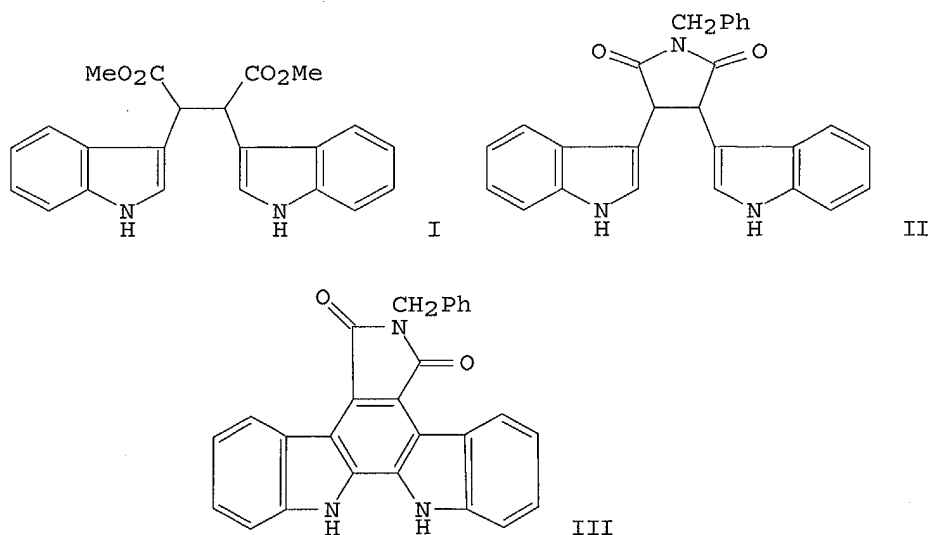
CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1988:473718 CAPLUS  
DOCUMENT NUMBER: 109:73718  
TITLE: Coupling of indoleacetic acid trianion or methyl  
indoleacetic acid dianion. A biomimetic approach to  
indolocarbazole alkaloids  
AUTHOR(S): Bergman, J.; Pelcman, B.  
CORPORATE SOURCE: Dep. Org. Chem., Royal Inst. Technol., Stockholm,  
S-100 44, Swed.  
SOURCE: Tetrahedron Letters (1987), 28(38), 4441-4  
CODEN: TELEAY; ISSN: 0040-4039  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 109:73718  
GI



09622815

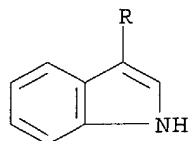
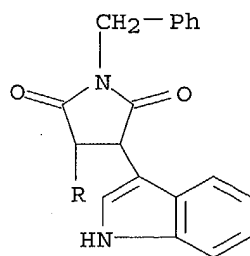


AB The bisindolesuccinic acid ester I was obtained as a mixt. of diastereomers by iodine promoted coupling of the dianion of Me 3-indoleacetate or via the trianion of 3-indoleacetic acid. The diester was converted to the N-benzylimide II which was oxidatively cyclized to the indolo[2,3-a]pyrrolo[3,4-c]carbazole compd. III.

IT **115684-55-4P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and oxidn. of)

RN 115684-55-4 CAPLUS

CN 2,5-Pyrrolidinedione, 3,4-di-1H-indol-3-yl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



US 1028677709P1



Creation date: 10-17-2003  
Indexing Officer: ALIEU - ANDY LIEU  
Team: 1600PrintWorkingFolder  
Dossier: 10286777

Legal Date: 10-16-2003

No.	Doccodes	Number of pages
1	CTFR	9
2	1449	1
3	FWCLM	1
4	SRFW	1

Total number of pages: 12

Remarks:

Order of re-scan issued on .....